(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



T (BERGE BINGER IN BERGER HEIN BERGEBEN) BERGER IN DIE BEGGE HEIN BEGGER HEIN BEGGER EINE GEREREITEN BEGGEREIT

(43) International Publication Date 6 May 2004 (06.05.2004)

PCT

(10) International Publication Number WO 2004/037269 A1

- (51) International Patent Classification⁷: A61K 31/565, 31/352, A61P 35/00
- (21) International Application Number:

PCT/NL2003/000718

- (22) International Filing Date: 23 October 2003 (23.10.2003)
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: 02079414.5

23 October 2002 (23.10.2002) EP

- (71) Applicant (for all designated States except US): PAN-TARHEI BIOSCIENCE B.V. [NL/NL]; P.O. Box 464, NL-3700 AL Zeist (NL).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): COELINGH BEN-NINK, Herman, Jan, Tijmen [NL/NL]; Melvill van Carnbeelaan 38, NL-3971 BE Driebergen (NL). BUN-SCHOTEN, Evert, Johannes [NL/NL]; Plantsoen 16, NL-5384 EV Heesch (NL).

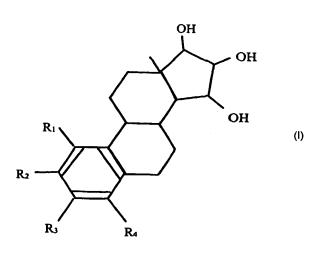
- (74) Agents: VAN WESTENBRUGGE, Andries et al.; Nederlandsch Octrooibureau, Scheveningseweg 82, P.O. Box 29720, NL-2502 LS The Hague (NL).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: PHARMACEUTICAL COMPOSITIONS COMPRISING ESTETROL DERIVATIVES FOR USE IN CANCER THERAPY



(57) Abstract: The present invention relates to a method of treating or preventing estrogen-suppressed tumours in a mammal, said method comprising the administration of a therapeutically effective amount of an estrogenic component to said mammal, wherein the estrogenic component is selected from the group consisting of: substances represented by the following formula (I) in which formula R₁, R₂, R₃, R₄, independently are a hydrogen atom, a hydroxyl group or an alkoxy group with 1-5 carbon atoms; precursors capable of liberating a substance according to the aforementioned formula when used in the present method; and mixtures of one or more of the aforementioned substances and/or precursors. The estrogenic component according to the invention is particularly useful in the treatment or prevention of colorectal and prostate cancer and, unlike commonly used estrogens, does not simultaneously enhance the risk of estrogen-stimulated cancers such as breast cancer.

